## (19) World Intellectual Property Organization International Bureau



(43) International Publication Date 31 March 2005 (31.03.2005)

PCT

(10) International Publication Number WO 2005/028479 A2

(51) International Patent Classification?: CD7D 473/00

(21) International Application Number:

PCT/EP2004/052262

(22) International Filing Date:

21 September 2004 (21.09.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: PCT/EP03/50659

25 September 2003 (25.09.2003) E

(71) Applicant (for all designated States except US): JANSSEN PHARMACEUTICA N.V. [BE/BE]; Tumhoutseweg 30, B-2340 Beerse (BE).

(71) Applicant (for US only): ARTS, Frank, Xavier, Jozef, Herwig (legal representative of the deceased inventor) [BE/BE]; Voshollei 36, B-2390 Brasschaat (BE).

(72) Inventor: JANSSEN, Paul, Adriann, Jan (deceased).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LEWI, Paulus, Joannes [BE/BB]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). DE JONGE, Marc, René [NL/NL]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). KOYMANS, Lucien, Maria, Henricus [NL/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). DAEYAERT, Frederik, Frans, Desiré [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). HEERES, Jan [NL/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE). VINKERS, Hendrik, Maarden [NL/BH]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, B-2340 Beerse (BE).

(BE): LEENDERS, Ruben, Gerardus, George [NL/NL]; c/o Mercachem, Toernooiveld 100, NL-6503 CB Nijmegen (NL). VANDENPUT, Dirk, Alfons, Leo [NL/NL]; c/o Mercachem, Toernooiveld 100, NL-6503 CB Nijmegen (NL).

(74) Common Representative: JANSSEN PHARMACEU-TICA N.V.; Turnhoutseweg 30, B-2340 Beerse (BB).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

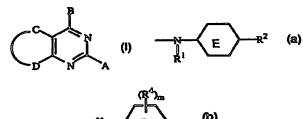
Declarations under Rule 4.17:

as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,

[Continued on next page]

## (54) Title: HIV REPLICATION INHIBITING PURINE DERIVATIVES





(57) Abstract: The present invention relates to the use of a compound of formula (I) for the manufacture of a medicament for the prevention or the treatment of HIV infection wherein the compound of formula (I) is a compound of formula (I) a N-oxide, a pharmaceutically acceptable addition salt, a quaternary amine or a stereochemically isomeric form thereof, wherein A and B each represents a radical of formula (a) or N=CH-NR<sup>17</sup>- (c-1); or -NR<sup>17</sup>-CH=N- (c-2); provided that when A represents a radical of formula (a) then B represents a radical of formula (b) and when A represents a radical of formula (a).